

L33 ANSWER 58 OF 221 CAPLUS COPYRIGHT 2001 ACS

AN 1994:298467 CAPLUS

DN 120:298467

TI Heterocyclic antiarrhythmic agents

IN Gerard, Nadler Guy Marguerite Marie; Alain, Bril Antoine Michel

PA Beecham Laboratoires, Fr.

SO Fr. Demande, 12 pp.

CODEN: FRXXBL

DT Patent

LA French

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	FR 2694003	A1	19940128	FR 1992-9003	19920721
OS	MARPAT 120:298467				
AB	The title compds. R1(R2)NAN(R3)SO2R4 [A = (un)substituted C2-6 alkylene; NR1R2 = (un)substituted 5-7 membered monocyclic heterocyclic substituent;				

R3 = C1-3 alkyl; R4 = (un)substituted naphthyl, H, halogen, quinolinyl, etc.], useful for the treatment of cardiac arrhythmia, are prepd. Thus, N-[2-(1-cis-2,4-dimethylpyrrolidinyl)ethyl]-1-naphthalenesulfonamide was condensed with NaH and MeI, and salified with HCl, producing N-methyl-N-[2-(1-cis-2,4-dimethylpyrrolidinyl)ethyl]-1-naphthalenesulfonamide hydrochloride (I), m.p. 53-55.degree.. I demonstrated 33% augmentation of the refractory period in isolated

ferret

papillary muscle at 10 .mu.M.

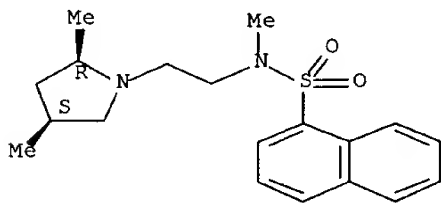
IT **155019-18-4P 155019-19-5P**

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as antiarrhythmic agent)

RN 155019-18-4 CAPLUS

CN 1-Naphthalenesulfonamide, N-[2-(2,4-dimethyl-1-pyrrolidinyl)ethyl]-N-methyl-, monohydrochloride, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.

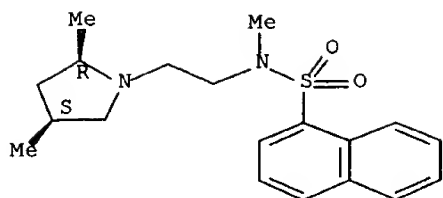


● HCl

RN 155019-19-5 CAPLUS

CN 1-Naphthalenesulfonamide, N-[2-(2,4-dimethyl-1-pyrrolidinyl)ethyl]-N-methyl-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



IT 77709-56-9

RL: RCT (Reactant)

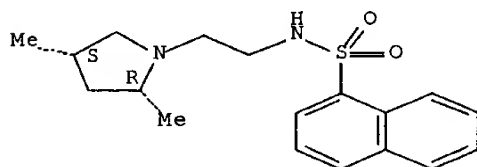
(reaction of, in prepn. of antiarrhythmic agents)

RN 77709-56-9 CAPLUS

CN 1-Naphthalenesulfonamide, N-[2-(2,4-dimethyl-1-pyrrolidiny)ethyl]-,
cis-

(9CI) (CA INDEX NAME)

Relative stereochemistry.



L33 ANSWER 59 OF 221 CAPLUS COPYRIGHT 2001 ACS

AN 1994:298360 CAPLUS

DN 120:298360

TI Preparation of carbapenem derivatives as medical bactericides

IN Nakagawa, Susumu; Ootake, Kenichi; Nakano, Fumio; Yamada, Koji;
Ushijima,

Ryosuke; Murase, Satoshi; Fukatsu, Hiroshi

PA Banyu Pharma Co Ltd, Japan

SO Jpn. Kokai Tokkyo Koho, 51 pp.

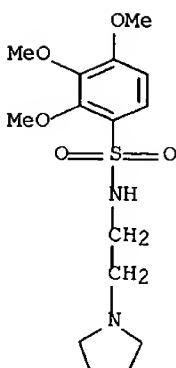
CODEN: JKXXAF

DT Patent

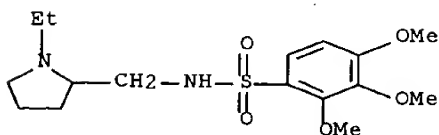
LA Japanese

FAN.CNT 1

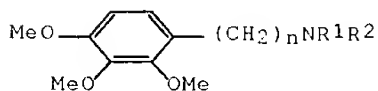
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 05230063	A2	19930907	JP 1992-72633	19920221
OS	MARPAT 120:298360				
GI					



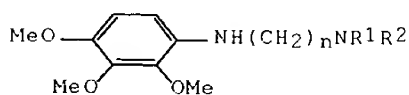
RN 103595-50-2 CAPLUS
 CN Benzenesulfonamide, N-[(1-ethyl-2-pyrrolidinyl)methyl]-2,3,4-trimethoxy-
 (9CI) (CA INDEX NAME)



L33 ANSWER 126 OF 221 CAPLUS COPYRIGHT 2001 ACS
 AN 1986:472086 CAPLUS
 DN 105:72086
 TI Compounds with the trimethoxyphenylsulfonyl group. III. Synthesis and
 pharmacological activity of 2,3,4-trimethoxyphenylsulfonyl-substituted
 derivatives
 AU Boudet-Dalbin, Raymond; Durand, Suzanne; Adam, Yves; Moreau, Robert C.;
 Foussard-Blanpin, Odette
 CS Lab. Chim. Therapeut., Fac. Pharm., Paris, 75270, Fr.
 SO Eur. J. Med. Chem.--Chim. Ther. (1986), 21(2), 131-7
 CODEN: EJMCA5; ISSN: 0223-5234
 DT Journal
 LA French
 OS CASREACT 105:72086
 GI



I



II

AB Six aminoalkyl sulfones (I) and 7 aminoalkyl sulfonamides (II) (R1 and R2

= alkyl or cyclic; n = 1-3) contg. the title group were prepd. and screened for activity on the central nervous system of mice. The results

allowed I and II to be classified as psycholeptics, and most were central

nervous system depressants. The contribution of the side chain to the activity is discussed.

IT 103595-49-9P 103595-50-2P

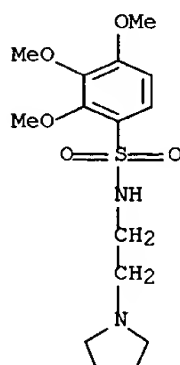
RL: BAC (Biological activity or effector, except adverse); BPR

(Biological

process); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses) (prepn. and pharmacol. of)

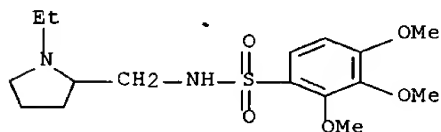
RN 103595-49-9 CAPLUS

CN Benzenesulfonamide, 2,3,4-trimethoxy-N-[2-(1-pyrrolidinyl)ethyl]- (9CI) (CA INDEX NAME)



RN 103595-50-2 CAPLUS

CN Benzenesulfonamide, N-[(1-ethyl-2-pyrrolidinyl)methyl]-2,3,4-trimethoxy- (9CI) (CA INDEX NAME)

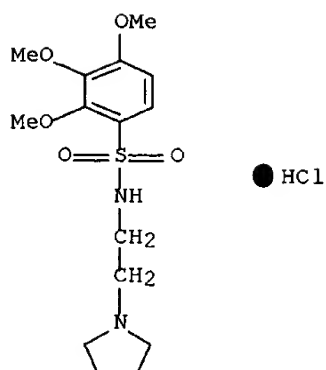


IT 103595-62-6P 103595-63-7P

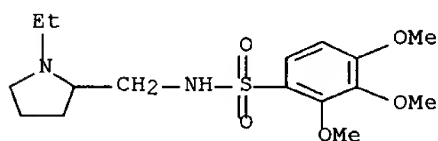
RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of)

RN 103595-62-6 CAPLUS

CN Benzenesulfonamide, 2,3,4-trimethoxy-N-[2-(1-pyrrolidinyl)ethyl]-, monohydrochloride (9CI) (CA INDEX NAME)



RN 103595-63-7 CAPLUS
 CN Benzenesulfonamide, N-[(1-ethyl-2-pyrrolidinyl)methyl]-2,3,4-trimethoxy-
 monohydrochloride (9CI) (CA INDEX NAME)



● HCl

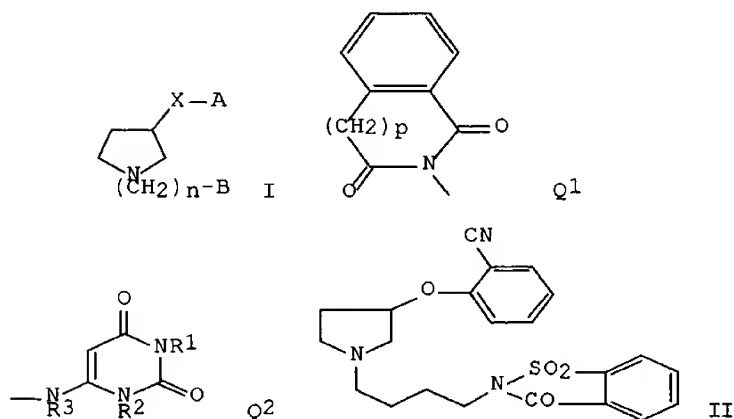
L33 ANSWER 127 OF 221 CAPLUS COPYRIGHT 2001 ACS
 AN 1986:200213 CAPLUS
 DN 104:200213
 TI Guanidine derivatives for treating gastrointestinal motility dysfunction
 IN Kuhla, Donald E.; Studt, William L.; Campbell, Henry F.; Yelnosky, John
 PA Rorer, William H., Inc., USA
 SO U.S., 7 pp.
 CODEN: USXXAM
 DT Patent
 LA English
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 4563475	A	19860107	US 1984-570528	19840113
AB	(Heterocycle substituted acetyl)guanidines XCRR1CON:C(NR2R3)(NR4R5) [R,				
R1					

= H, alkyl; R2-R5 = H, alkyl, aroyl, arylalkanoyl; R3R5 = alkylene; X = (un)substituted 1H-pyrrol-1-yl, 2,5-dihydro-1H-pyrrol-1-yl, 1-pyrrolidinyl and their salts and pharmaceutical formulations are described for possible treatment of gastrointestinal motility disorders,

AN 1990:235290 CAPLUS
 DN 112:235290
 TI Preparation of 1,3-disubstituted pyrrolidines as serotonin (partial) agonists and antagonists
 IN Schohe, Rudolf; Seidel, Peter Rudolf; Traber, Jorg; Glaser, Thomas
 PA Bayer A.-G., Fed. Rep. Ger.
 SO Eur. Pat. Appl., 50 pp.
 CODEN: EPXXDW
 DT Patent
 LA German
 FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 338331	A1	19891025	EP 1989-106023	19890406
	EP 338331	B1	19921021		
	R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, NL, SE				
	DE 3835291	A1	19891102	DE 1988-3835291	19881015
	AT 81652	E	19921115	AT 1989-106023	19890406
	ES 2045229	T3	19940116	ES 1989-106023	19890406
	US 5037841	A	19910806	US 1989-336977	19890412
	AU 8933059	A1	19891026	AU 1989-33059	19890414
	AU 625817	B2	19920716		
	IL 89973	A1	19930131	IL 1989-89973	19890417
	DK 8901864	A	19891020	DK 1989-1864	19890418
	JP 01311059	A2	19891215	JP 1989-96549	19890418
	ZA 8902823	A	19891227	ZA 1989-2823	19890418
	US 5274097	A	19931228	US 1991-682785	19910409
	US 5453437	A	19950926	US 1993-118376	19930908
PRAI	DE 1988-3812989		19880419		
	DE 1988-3835291		19881015		
	EP 1989-106023		19890406		
	US 1989-336927		19890412		
	US 1989-336977		19890412		
	US 1991-682785		19910409		
OS	MARPAT 112:235290				
GI					



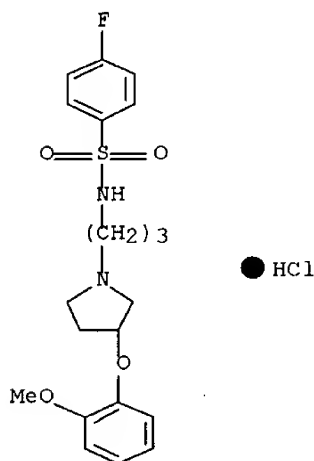
AB The title compds. [I; A = (fused) heteroaryl; B = cyano, CO₂R₁, CONR₂R₃, SO₂NR₂R₃, SOMR₄, NR₅R₆, C.tplbond.CCH₂NR₅R₆; X = OCH₂, CH₂O, O; R₁ = H, C₁-12 alkyl, C₅-8 cycloalkyl, C₂-12 alkenyl, aryl, aralkyl; R₂, R₃ = H, C₁-17 alkyl, (un)substituted aryl, etc.; R₅, R₆ = COR₂, SO₂R₈, any of definitions for R₂, R₃; R₇ = NHR₉, C₁-12 alkyl, C₁-17 alkoxy, etc.; R₈ = C₅-8 cycloalkyl, (un)substituted C₁-12 alkyl, (un)substituted (hetero)aryl, NR₂R₃; R₉ = H, C₅-8 cycloalkyl, (un)substituted C₁-12 alkyl, aralkyl, (hetero)aryl, etc.; NR₅R₆ can form a (fused) heterocyclic ring, e.g., Q₁, Q₂, etc.; n = 1-10; n = 0-2] and their salts were prepd. as 5-hydroxytryptamine agonists, partial agonists (no data), and antagonists, useful for treatment of serotonergic system-related CNS diseases. A mixt. of 3-(2-cyanophenoxy)pyrrolidine, 2-(4-bromobutyl)benzothiazol-3(2H)-one-1,1-dioxide, and Et₃N in DMF was stirred 20 h at 45.degree. to give

II which was converted to its oxalate. The latter in vitro antagonized serotonin with an inhibition const. K_i = 2 nM.

IT **127341-41-7P 127341-57-5P 127366-99-8P**
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of, as serotonin agonist or antagonist)

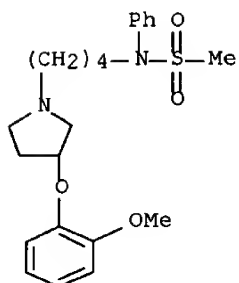
RN 127341-41-7 CAPLUS

CN Benzenesulfonamide, 4-fluoro-N-[3-[3-(2-methoxyphenoxy)-1-pyrrolidinyl]propyl]-, monohydrochloride (9CI) (CA INDEX NAME)



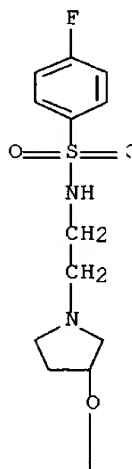
RN 127341-57-5 CAPLUS

CN Methanesulfonamide, N-[4-[3-(2-methoxyphenoxy)-1-pyrrolidinyl]butyl]-N-phenyl- (9CI) (CA INDEX NAME)

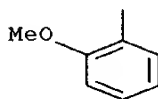


RN 127366-99-8 CAPLUS
 CN Benzenesulfonamide, 4-fluoro-N-[2-[3-(2-methoxyphenoxy)-1-pyrrolidinyl]ethyl]-, monohydrochloride (9CI) (CA INDEX NAME)

PAGE 1-A

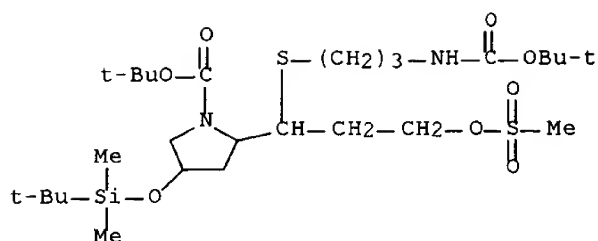


PAGE 2-A



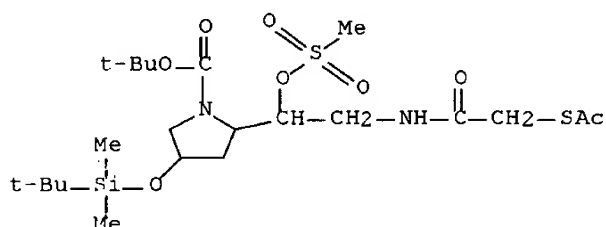
● HCl

L33 ANSWER 99 OF 221 CAPLUS COPYRIGHT 2001 ACS
 AN 1990:216752 CAPLUS
 DN 112:216752



RN 154577-61-4 CAPLUS

CN 1-Pyrrolidinecarboxylic acid, 2-[2-[[[(acetylthio)acetyl]amino]-1-
[methylsulfonyl]oxy]ethyl]-4-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]-,
1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



L33 ANSWER 60 OF 221 CAPLUS COPYRIGHT 2001 ACS

AN 1994:270133 CAPLUS

DN 120:270133

TI Preparation of carbostyryl derivatives as blood platelet aggregation
inhibitors.

IN Sato, Seiji; Yukawa, Hirotaka; Kihara, Yoshito; Koga, Nobuyuki; Saito,
Mashiro; Nishi, Takao

PA Otsuka Pharmaceutical Co., Ltd., Japan

SO PCT Int. Appl., 218 pp.

CODEN: PIXXD2

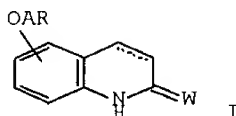
DT Patent

LA Japanese

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9304042	A1	19930304	WO 1992-JP1041	19920818
W: AU, CA, KR, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, SE				
CA 2093633	AA	19930224	CA 1992-2093633	19920818
AU 9224292	A1	19930316	AU 1992-24292	19920818
AU 653060	B2	19940915		
EP 569592	A1	19931118	EP 1992-917806	19920818
R: CH, DE, DK, ES, FR, GB, IT, LI, NL, SE				
JP 05194405	A2	19930803	JP 1992-221206	19920820
US 5506239	A	19960409	US 1993-39301	19930422

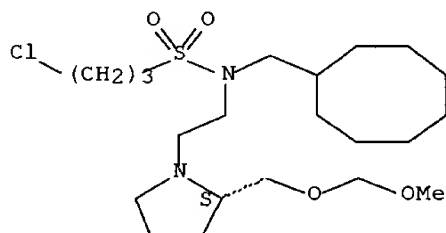
US 5658926 A 19970819 US 1995-541579 19951010
 PRAI JP 1991-211268 19910823
 WO 1992-JP1041 19920818
 US 1993-39301 19930422
 OS MARPAT 120:270133
 GI



AB 6-(4-Bromobutoxy)carbostyryl, 1-[2-(benzylamino)ethyl]-4-methoxymethoxypiperidine (prepn. given), and NaHCO₃ in DMF at 100.degree. for 6 h gave the title compd. 6-[4-[N-[2-(4-methoxymethoxy-1-piperidinyl)ethyl]benzylamino]butoxy]carbostyryl. The title compds. [I; A = alkylene; R = (un)substituted amino, un(substituted) sulfamoyl, etc.; W = O, S] are prepd. Heating a mixt. of 6-(4-bromobutoxy)carbostyryl, 1-[2-(benzylamino)ethyl]-4-methoxymethoxypiperidine (prepn. given), and NaHCO₃ in DMF at 100.degree. for 6 h gave the title compd. 6-[4-[N-[2-(4-methoxymethoxy-1-piperidinyl)ethyl]benzylamino]butoxy]carbostyryl. In an in vitro study I [W = O, O-A-R = 6-O-(CH₂)₃-N(CH₂-Q)CH₂-CH₂-Q₁, Q = cyclooctyl, Q₁ = 4-hydroxy-1-piperidinyl] (also prepd.) had an IC₅₀ of 10 .mu.M against ADP-induced blood platelet aggregation.

IT **151642-44-3P 151642-45-4P**
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of, as intermediate for blood platelet aggregation inhibitors)
 RN 151642-44-3 CAPLUS
 CN 1-Propanesulfonamide, 3-chloro-N-(cyclooctylmethyl)-N-[2-[2-[(methoxymethoxy)methyl]-1-pyrrolidinyl]ethyl]-, (S)- (9CI) (CA INDEX NAME)

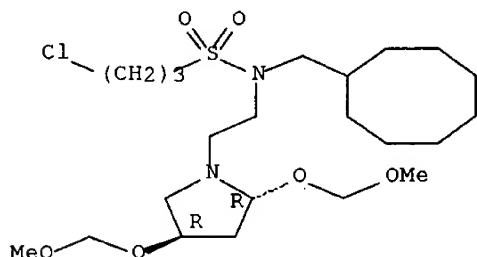
Absolute stereochemistry.



RN 151642-45-4 CAPLUS

CN 1-Propanesulfonamide, N-[2-[2,4-bis(methoxymethoxy)-1-pyrrolidinyl]ethyl]-
3-chloro-N-(cyclooctylmethyl)-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



L33 ANSWER 61 OF 221 CAPLUS COPYRIGHT 2001 ACS

AN 1994:192314 CAPLUS

DN 120:192314

TI Preparation of L-pyroglutamyl-L-histidyl-L-tryptophyl-L-seryl-L-tyrosylglycyl-L-leucyl-L-arginyl-L-prolylglycinamide

IN Masiukiewicz, Elzbieta; Rzeszotarska, Barbara

PA Wyższa Szkoła Pedagogiczna im. Powstańców Śląskich, Pol.

SO Pol., 13 pp.

CODEN: POXXA7

DT Patent

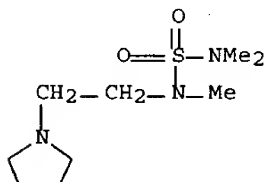
LA Polish

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PL 161342	B1	19930630	PL 1989-282259	19891109

AB The title gonadotropin, also known as gonadoliberin or luliberin, is prepd. in a high-yielding process by azide condensation of two peptide segments, the hexapeptide L-pyroglutamyl-L-histidyl-L-tryptophyl-L-seryl-L-tyrosylglycine hydrazide (I) with a tetrapeptide obtained by redn. of an N.alpha.-benzyloxycarbonyl deriv. in the presence of Pd/C catalyst; the tetrapeptide is obtained as the L-leucyl-L-arginyl-L-prolylglycinamide 1-hydroxybenzotriazolium salt by reaction of N.alpha.-benzyloxycarbonyl-L-arginine with L-prolylglycinamide 1-hydroxybenzotriazolium salt in the presence of dicyclohexylcarbodiimide (DCC) activator and 1-hydroxybenzotriazole to suppress side reactions, removal of the protecting N.alpha.-benzyloxycarbonyl group with H in the presence of Pd/C catalyst, addn. of N.alpha.-benzyloxycarbonyl-L-leucine, DCC, and 1-hydroxybenzotriazole, and isolation of the N.alpha.-benzyloxycarbonyl-L-leucyl-L-arginyl-L-prolylglycinamide 1-hydroxybenzotriazolium salt thus obtained by chromatog. on silica gel in a solvent system contg. HOAc, which converts the product salt to an acetate which is then reduced with H

AN 1983:16264 CAPLUS
 DN 98:16264
 TI Alkylations of trialkylsulfonyldiamides
 AU Unterhalt, Bernard; Seebach, Edmar
 CS Inst. Pharm. Chem., Univ. Marburg, Marburg/Lahn, D-3550, Fed. Rep. Ger.
 SO Archiv der Pharmazie (Weinheim, Germany) (1982), 315(10), 852-7
 CODEN: ARPMAS; ISSN: 0365-6233
 DT Journal
 LA German
 OS CASREACT 98:16264
 AB Me₂NSO₂NHMe reacted with ClCH₂OEt or ClCH₂R₁ (R₁ = SMe, SPr, SBu) under conditions of phase transfer catalysis (PhCH₂N+Me₃.Cl⁻) to give 38% Me₂NSO₂NRCH₂R₁ (I; R = Me, R₁ = OEt) and 22-42% I (R = Me, R₁ = SMe, SPr, SBu). Aminomethylation with HCHO and secondary amines gave 53-65% I (R = Me, R₁ = NMe₂, NEt₂, piperidino, morpholino). Although ClCH₂CH₂OCH₂Ph did not react, 19-75% I [R = Me, R₁ = CH₂SEt, CH₂SCH₂Ph, CH₂NMe₂, CH₂NEt₂, CH₂N(CHMe₂)₂, 1-pyrrolidinylmethyl, piperidinomethyl] could be obtained. Me₂NSO₂NHCH₂Ph and ClCH₂OEt gave 20% I (R = CH₂Ph, R₁ = OEt), Et₂NSO₂NHMe, HCHO, and Me₂NH gave 72% Et₂NSO₂NMeCH₂NMe₂, and Me₂NSO₂NNaMe or Me₂NSO₂NNaEt with Cl(CH₂)₃NEt₂ gave 90 and 85% I (R = Me, Et; R₁ = CH₂CH₂NEt₂).
 IT **83961-42-6P**
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of)
 RN 83961-42-6 CAPLUS
 CN Sulfamide, trimethyl[2-(1-pyrrolidinyl)ethyl]- (9CI) (CA INDEX NAME)



AN 1983:16264 CAPLUS
 DN 98:16264
 TI Alkylations of trialkylsulfonyldiamides
 AU Unterhalt, Bernard; Seebach, Edmar
 CS Inst. Pharm. Chem., Univ. Marburg, Marburg/Lahn, D-3550, Fed. Rep. Ger.
 SO Archiv der Pharmazie (Weinheim, Germany) (1982), 315(10), 852-7
 CODEN: ARPMAS; ISSN: 0365-6233
 DT Journal
 LA German
 OS CASREACT 98:16264
 AB Me₂NSO₂NHMe reacted with ClCH₂OEt or ClCH₂R₁ (R₁ = SMe, SPr, SBu) under conditions of phase transfer catalysis (PhCH₂N+Me₃.Cl⁻) to give 38% Me₂NSO₂NRCH₂R₁ (I; R = Me, R₁ = OEt) and 22-42% I (R = Me, R₁ = SMe, SPr, SBu). Aminomethylation with HCHO and secondary amines gave 53-65% I (R = Me, R₁ = NMe₂, NEt₂, piperidino, morpholino). Although ClCH₂CH₂OCH₂Ph did not react, 19-75% I [R = Me, R₁ = CH₂SEt, CH₂SCH₂Ph, CH₂NMe₂, CH₂NEt₂, CH₂N(CHMe₂)₂, 1-pyrrolidinylmethyl, piperidinomethyl] could be obtained. Me₂NSO₂NHCH₂Ph and ClCH₂OEt gave 20% I (R = CH₂Ph, R₁ = OEt), Et₂NSO₂NHMe, HCHO, and Me₂NH gave 72% Et₂NSO₂NMeCH₂NMe₂, and Me₂NSO₂NNaMe or Me₂NSO₂NNaEt with Cl(CH₂)₃NEt₂ gave 90 and 85% I (R = Me, Et; R₁ = CH₂CH₂NEt₂).
 IT **83961-42-6P**
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of)
 RN 83961-42-6 CAPLUS
 CN Sulfamide, trimethyl[2-(1-pyrrolidinyl)ethyl]- (9CI) (CA INDEX NAME)

